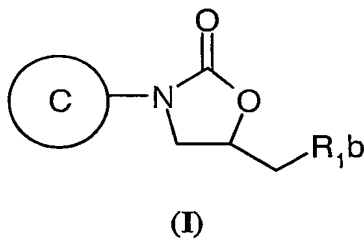
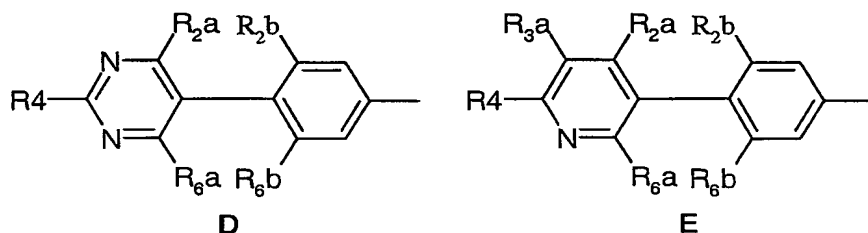


Claims

1. A compound of the formula (I), or a pharmaceutically-acceptable salt, or an in-vivo-
5 hydrolysable ester thereof,



- 10 wherein C is selected from D and E,



wherein in D and E the phenyl ring is attached to the oxazolidinone in (I);

R_{1b} is HET1 or HET2, wherein

- i) HET1 is an N-linked 5-membered, fully or partially unsaturated heterocyclic ring,
15 containing either (i) 1 to 3 further nitrogen heteroatoms or (ii) a further heteroatom selected from O and S together with an optional further nitrogen heteroatom; which ring is optionally substituted on a C atom, other than a C atom adjacent to the linking N atom, by an oxo or thioxo group; and/or which ring is optionally substituted on any available C atom, other than a C atom adjacent to the linking N atom, by a substituent selected from RT as hereinafter
20 defined and/or on an available nitrogen atom, other than a N atom adjacent to the linking N atom, (provided that the ring is not thereby quaternised) by (1-4C)alkyl;
- ii) HET2 is an N-linked 6-membered di-hydro-heteroaryl ring containing up to three nitrogen heteroatoms in total (including the linking heteroatom), which ring is substituted on a suitable C atom, other than a C atom adjacent to the linking N atom, by oxo or thioxo and/or which
25 ring is optionally substituted on any available C atom, other than a C atom adjacent to the linking N atom, by one or two substituents independently selected from RT as hereinafter

defined and/or on an available nitrogen atom, other than a N atom adjacent to the linking N atom, (provided that the ring is not thereby quaternised) by (1-4C)alkyl;

RT is selected from a substituent from the group:

(RTa1) hydrogen, halogen, (1-4C)alkoxy, (2-4C)alkenyloxy, (2-4C)alkenyl,

5 (2-4C)alkynyl, (3-6C)cycloalkyl, (3-6C)cycloalkenyl, (1-4C)alkylthio, amino, azido, cyano and nitro; or

(RTa2) (1-4C)alkylamino, di-(1-4C)alkylamino, and (2-4C)alkenylamino;

or RT is selected from the group

(RTb1) (1-4C)alkyl group which is optionally substituted by one substituent selected

10 from hydroxy, (1-4C)alkoxy, (1-4C)alkylthio, cyano and azido; or

(RTb2) (1-4C)alkyl group which is optionally substituted by one substituent selected from (2-4C)alkenyloxy, (3-6C)cycloalkyl, and (3-6C)cycloalkenyl;

or RT is selected from the group

(RTc) a fully saturated 4-membered monocyclic ring containing 1 or 2 heteroatoms

15 independently selected from O, N and S (optionally oxidised), and linked via a ring nitrogen or carbon atom;

and wherein at each occurrence of an RT substituent containing an alkyl, alkenyl, alkynyl, cycloalkyl or cycloalkenyl moiety in (RTa1) or (RTa2), (RTb1) or (RTb2), or (RTc) each

such moiety is optionally substituted on an available carbon atom with one, two, three or more

20 substituents independently selected from F, Cl, Br, OH and CN;

R_{2a} and R_{6a} are independently selected from H, CF₃, OMe, SMe, Me and Et;

R_{2b} and R_{6b} are independently selected from H, F, Cl, CF₃, OMe, SMe, Me and Et;

R_{3a} is selected from H, (1-4C)alkyl, cyano, Br, F, Cl, OH, (1-4C)alkoxy, -S(O)_n(1-4C)alkyl (wherein n = 0, 1, or 2), amino, (1-4C)alkylcarbonylamino, nitro, -CHO, -CO(1-4C)alkyl,

25 -CONH₂ and -CONH(1-4C)alkyl;

R₄ is selected from R_{4a} and R_{4b} wherein

R_{4a} is selected from azido, -NR₇R₈, OR₁₀, (1-4C)alkyl, (1-4C)alkoxy, (3-6C)cycloalkyl,

-(CH₂)_k-R₉, AR₁, AR₂, (1-4C)alkanoyl, -CS(1-4C)alkyl, -C(=W)NR_vR_w [wherein W is O or S, R_v and R_w are independently H, or (1-4C)alkyl], -(C=O)_l-R₆, -COO(1-4C)alkyl,

30 -C=OAR₁, -C=OAR₂, -COOAR₁, S(O)_n(1-4C)alkyl (wherein n = 1 or 2), -S(O)_pAR₁,

-S(O)_pAR₂ and

-C(=S)O(1-4C)alkyl; wherein any (1-4C)alkyl chain may be optionally substituted by

(1-4C)alkyl, cyano, hydroxy or halo; p = 0, 1 or 2;

R_{4b} is selected from HET-3;

R₆ is selected from hydrogen, (1-4C)alkoxy, amino, (1-4C)alkylamino and hydroxy(1-4C)alkylamino;

k is 1 or 2;

5 l is 1 or 2;

R₇ and R₈ are independently selected from H and (1-4C)alkyl, or wherein R₇ and R₈ taken together with the nitrogen to which they are attached can form a 5-7 membered ring optionally with an additional heteroatom selected from N, O, S(O)_n (wherein n = 1 or 2) in place of 1 carbon atom of the so formed ring; wherein the ring may be optionally substituted

10 by one or two groups independently selected from (1-4C)alkyl, (3-6C)cycloalkyl, (1-4C)alkanoyl, -COO(1-4C)alkyl, -S(O)_n(1-4C)alkyl (wherein n = 1 or 2), AR₁, AR₂, , -C=OAR₁, -C=OAR₂, -COOAR₁, -CS(1-4C)alkyl, -C(=S)O(1-4C)alkyl, -C(=W)NR_vR_w [wherein W is O or S, R_v and R_w are independently H, or (1-4C)alkyl], -S(O)_pAR₁ and -S(O)_pAR₂; wherein any (1-4C)alkyl, (3-6C)cycloalkyl or (1-4C)alkanoyl group may be
15 optionally substituted (except on a carbon atom adjacent to a heteroatom) by one or two substituents selected from (1-4C)alkyl, cyano, hydroxy, halo, amino, (1-4C)alkylamino and di(1-4C)alkylamino; p = 0, 1 or 2;

R₉ is independently selected from R_{9a} to R_{9d} below:

R_{9a}: AR₁, AR₂, AR_{2a}, AR_{2b}, AR₃, AR_{3a}, AR_{3b}, AR₄, AR_{4a}, CY₁, CY₂;

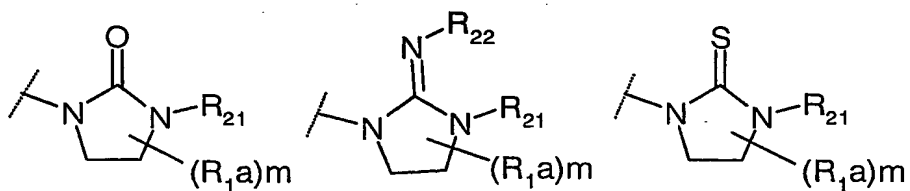
20 R_{9b}: cyano, carboxy, (1-4C)alkoxycarbonyl, -C(=W)NR_vR_w [wherein W is O or S, R_v and R_w are independently H, or (1-4C)alkyl and wherein R_v and R_w taken together with the amide or thioamide nitrogen to which they are attached can form a 5-7 membered ring optionally with an additional heteroatom selected from N, O, S(O)_n in place of 1 carbon atom of the so formed ring; wherein when said ring is a piperazine ring, the ring may be optionally
25 substituted on the additional nitrogen by a group selected from (1-4C)alkyl, (3-6C)cycloalkyl, (1-4C)alkanoyl, -COO(1-4C)alkyl, -S(O)_n(1-4C)alkyl (wherein n = 1 or 2), -COOAR₁, -CS(1-4C)alkyl and -C(=S)O(1-4C)alkyl; wherein any (1-4C)alkyl, (3-6C)cycloalkyl or (1-4C)alkanoyl group may itself optionally be substituted by cyano, hydroxy or halo], ethenyl, 2-(1-4C)alkylethenyl, 2-cyanoethenyl, 2-cyano-2-((1-4C)alkyl)ethenyl, 2-nitroethenyl, 2-
30 nitro-2-((1-4C)alkyl)ethenyl, 2-((1-4C)alkylaminocarbonyl)ethenyl, 2-((1-4C)alkoxycarbonyl)ethenyl, 2-(AR₁)ethenyl, 2-(AR₂)ethenyl, 2-(AR_{2a})ethenyl;

R_{9c}: (1-6C)alkyl

{optionally substituted by one or more groups (including geminal disubstitution) each

- independently selected from hydroxy, (1-10C)alkoxy, (1-4C)alkoxy-(1-4C)alkoxy, (1-4C)alkoxy-(1-4C)alkoxy-(1-4C)alkoxy, (1-4C)alkylcarbonyl, phosphoryl [-O-P(O)(OH)₂, and mono- and di-(1-4C)alkoxy derivatives thereof], phosphiryl [-O-P(OH)₂ and mono- and di-(1-4C)alkoxy derivatives thereof], and amino; and/or optionally substituted by one group
- 5 selected from carboxy, phosphonate [phosphono, -P(O)(OH)₂, and mono- and di-(1-4C)alkoxy derivatives thereof], phosphinate [-P(OH)₂ and mono- and di-(1-4C)alkoxy derivatives thereof], cyano, halo, trifluoromethyl, (1-4C)alkoxycarbonyl, (1-4C)alkoxy-(1-4C)alkoxycarbonyl, (1-4C)alkoxy-(1-4C)alkoxy-(1-4C)alkoxycarbonyl, (1-4C)alkylamino, di((1-4C)alkyl)amino, (1-6C)alkanoylamino-, (1-4C)alkoxycarbonylamino-, N-(1-4C)alkyl-
- 10 N-(1-6C)alkanoylamino-, -C(=W)NR_vR_w [wherein W is O or S, R_v and R_w are as hereinbefore defined], (=NOR_v) wherein R_v is as hereinbefore defined, (1-4C)alkylS(O)_pNH-, (1-4C)alkylS(O)_p-((1-4C)alkyl)N-, fluoro(1-4C)alkylS(O)_pNH-, fluoro(1-4C)alkylS(O)_p((1-4C)alkyl)N-, (1-4C)alkylS(O)_q⁻, CY1, CY2, AR1, AR2, AR3, AR1-O-, AR2-O-, AR3-O-, AR1-S(O)_q⁻, AR2-S(O)_q⁻, AR3-S(O)_q⁻, AR1-NH-, AR2-NH-,
- 15 AR3-NH- (p is 1 or 2 and q is 0, 1 or 2), and also AR2a, AR2b, AR3a and AR3b versions of AR2 and AR3 containing groups}; wherein any (1-4C)alkyl present in any substituent on R_{9c} may itself be substituted by one or two groups independently selected from cyano, hydroxy, halo, amino, (1-4C)alkylamino and di(1-4C)alkylamino, provided that such a substituent is not on a carbon adjacent to a heteroatom atom if present;
- 20 R_{9d}: R₁₄C(O)O(1-6C)alkyl- wherein R₁₄ is AR1, AR2, (1-4C)alkylamino, benzyloxy-(1-4C)alkyl or (1-10C)alkyl {optionally substituted as defined for (R_{9c})};
- R₁₀ is selected from hydrogen, R_{9c} (as hereinbefore defined), (1-4C)acyl and (1-4C)alkylsulfonyl;
- HET-3 is selected from:
- 25 a) a 5-membered heterocyclic ring containing at least one nitrogen and/or oxygen in which any carbon atom is a C=O, C=N, or C=S group, wherein said ring is of the formula HET3-A to HET3-E below:

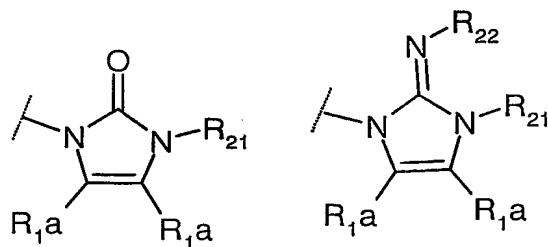
- 80 -



HET3-A

HET3-B

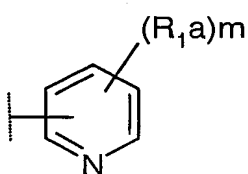
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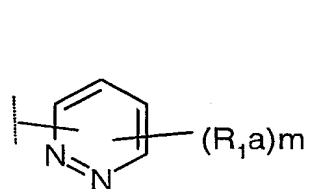
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HET3-E

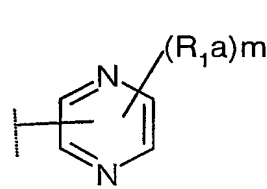
b) a carbon-linked 5- or 6-membered heteroaromatic ring containing 1, 2, 3, or 4 heteroatoms independently selected from N, O and S selected from HET3-F to HET3-Y below:



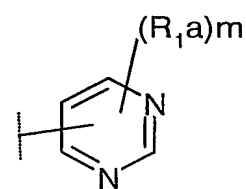
HET3-F



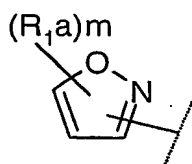
HET3-G



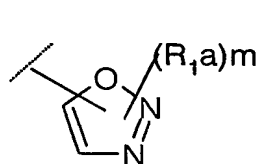
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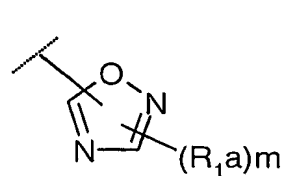
HET3-I



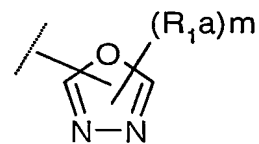
HET3-J



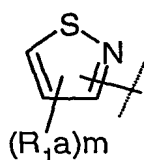
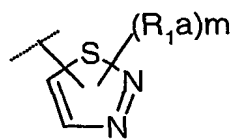
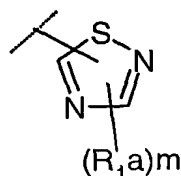
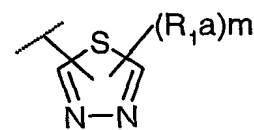
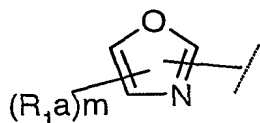
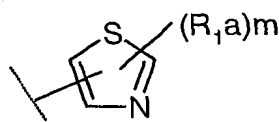
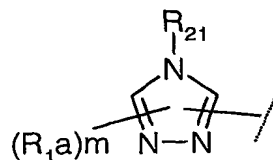
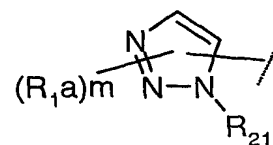
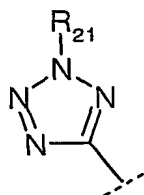
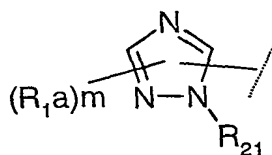
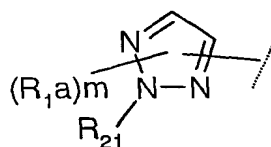
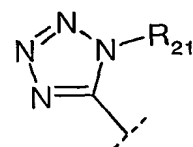
HET3-K



HET3-L

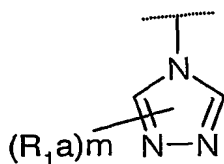
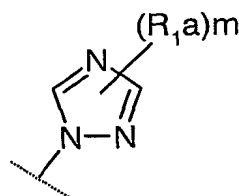
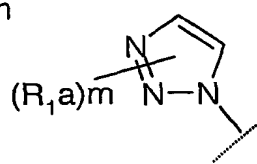
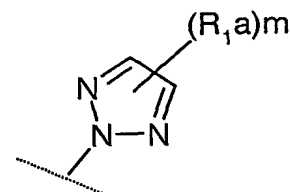
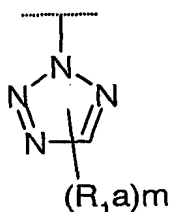
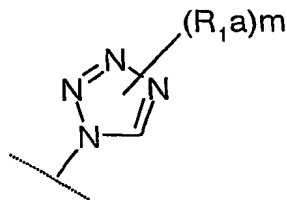
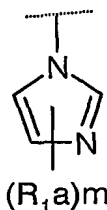
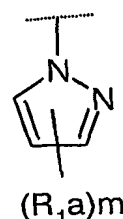
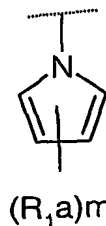


HET3-M

**HET3-N****HET3-O****HET3-P****HET3-Q****HET3-R****HET3-S****HET3-T****HET3-U****HET3-V****HET3-W****HET3-X****HET3-Y**

c) a nitrogen-linked 5- or 6-membered heteroaromatic ring containing 1, 2, 3, or 4 heteroatoms independently selected from N, O and S selected from HET3-Z to HET3-AH

5 below:

**HET3-Z****HET3-AA****HET3-AB****HET3-AC****HET3-AD****HET3-AE****HET3-AF****HET3-AG****HET3-AH**

wherein in HET-3, R_{1a} is a substituent on carbon;

R_{1a} is independently selected from R_{1a1} to R_{1a5} below:

R_{1a1}: AR1, AR2, AR2a, AR2b, AR3, AR3a, AR3b, AR4, AR4a, CY1, CY2;

R_{1a2}: cyano, carboxy, (1-4C)alkoxycarbonyl, -C(=W)NR_vR_w [wherein W is O or S, R_v and R_w are independently H, or (1-4C)alkyl and wherein R_v and R_w taken together with the amide or thioamide nitrogen to which they are attached can form a 5-7 membered ring

- 5 optionally with an additional heteroatom selected from N, O, S(O)_n in place of 1 carbon atom of the so formed ring; wherein when said ring is a piperazine ring, the ring may be optionally substituted on the additional nitrogen by a group selected from (1-4C)alkyl, (3-6C)cycloalkyl, (1-4C)alkanoyl, -COO(1-4C)alkyl, -S(O)_n(1-4C)alkyl (wherein n = 1 or 2), -COOAR1, -CS(1-4C)alkyl) and -C(=S)O(1-4C)alkyl; wherein any (1-4C)alkyl, (1-4C)alkanoyl and
- 10 (3-4C)cycloalkyl substituent may itself be substituted by cyano, hydroxy or halo, provided that, such a substituent is not on a carbon adjacent to a nitrogen atom of the piperazine ring], ethenyl, 2-(1-4C)alkylethenyl, 2-cyanoethenyl, 2-cyano-2-((1-4C)alkyl)ethenyl, 2-nitroethenyl, 2-nitro-2-((1-4C)alkyl)ethenyl, 2-((1-4C)alkylaminocarbonyl)ethenyl, 2-((1-4C)alkoxycarbonyl)ethenyl, 2-(AR1)ethenyl, 2-(AR2)ethenyl, 2-(AR2a)ethenyl;

15 R_{1a3}: (1-10C)alkyl

- {optionally substituted by one or more groups (including geminal disubstitution) each independently selected from hydroxy, (1-10C)alkoxy, (1-4C)alkoxy-(1-4C)alkoxy, (1-4C)alkoxy-(1-4C)alkoxy-(1-4C)alkoxy, (1-4C)alkylcarbonyl, phosphoryl [-O-P(O)(OH)₂, and mono- and di-(1-4C)alkoxy derivatives thereof], phosphiryl [-O-P(OH)₂ and mono- and
- 20 di-(1-4C)alkoxy derivatives thereof], and amino; and/or optionally substituted by one group selected from carboxy, phosphonate [phosphono, -P(O)(OH)₂, and mono- and di-(1-4C)alkoxy derivatives thereof], phosphinate [-P(OH)₂ and mono- and di-(1-4C)alkoxy derivatives thereof], cyano, halo, trifluoromethyl, (1-4C)alkoxycarbonyl, (1-4C)alkoxy-(1-4C)alkoxycarbonyl, (1-4C)alkoxy-(1-4C)alkoxy-(1-4C)alkoxycarbonyl, (1-4C)alkylamino, di((1-4C)alkyl)amino, (1-6C)alkanoylamino-, (1-4C)alkoxycarbonylamino-, N-(1-4C)alkyl-
- 25 N-(1-6C)alkanoylamino-, -C(=W)NR_vR_w [wherein W is O or S, R_v and R_w are independently H, or (1-4C)alkyl and wherein R_v and R_w taken together with the amide or thioamide nitrogen to which they are attached can form a 5-7 membered ring optionally with an additional heteroatom selected from N, O, S(O)_n in place of 1 carbon atom of the so
- 30 formed ring; wherein when said ring is a piperazine ring, the ring may be optionally substituted on the additional nitrogen by a group selected from (1-4C)alkyl, (3-6C)cycloalkyl, (1-4C)alkanoyl, -COO(1-4C)alkyl, -S(O)_n(1-4C)alkyl (wherein n = 1 or 2), -COOAR1,

- CS(1-4C)alkyl and -C(=S)O(1-4C)alkyl], (=NOR_v) wherein R_v is as hereinbefore defined, (1-4C)alkylS(O)_pNH-, (1-4C)alkylS(O)_p-((1-4C)alkyl)N-, fluoro(1-4C)alkylS(O)_pNH-, fluoro(1-4C)alkylS(O)_p((1-4C)alkyl)N-, (1-4C)alkylS(O)_q-, CY1, CY2, AR1, AR2, AR3, AR1-O-, AR2-O-, AR3-O-, AR1-S(O)_q-, AR2-S(O)_q-, AR3-S(O)_q-, AR1-NH-, AR2-NH-,
- 5 AR3-NH- (p is 1 or 2 and q is 0, 1 or 2), and also AR2a, AR2b, AR3a and AR3b versions of AR2 and AR3 containing groups}; wherein any (1-4C)alkyl, (1-4C)alkanoyl and (3-6C)cycloalkyl present in any substituent on R_{1a3} may itself be substituted by one or two groups independently selected from cyano, hydroxy, halo, amino, (1-4C)alkylamino and di(1-4C)alkylamino, provided that such a substituent is not on a carbon adjacent to a
- 10 heteroatom atom if present;
- R_{1a4}: R₁₄C(O)O(1-6C)alkyl- wherein R₁₄ is AR1, AR2, AR2a, AR2b, (1-4C)alkylamino, benzyloxy-(1-4C)alkyl or (1-10C)alkyl {optionally substituted as defined for (R_{1a3})};
- R_{1a5}: F, Cl, hydroxy, mercapto, (1-4C)alkylS(O)_p- (p = 0, 1 or 2), -NR₇R₈ (wherein R₇ and R₈ are as hereinbefore defined) or -OR₁₀ (where R₁₀ is as hereinbefore defined);
- 15 m is 0, 1 or 2;
- R₂₁ is selected from hydrogen, methyl [optionally substituted with cyano, trifluoromethyl, -C=WNR_vR_w (where W, R_v and R_w are as hereinbefore defined for R_{1a3}), (1-4C)alkoxycarbonyl, (1-4C)alkoxy-(1-4C)alkoxycarbonyl, (1-4C)alkoxy-(1-4C)alkoxy-(1-4C)alkoxycarbonyl, CY1, CY2, AR1, AR2, AR2a, AR2b (not linked through nitrogen) or
- 20 AR3], (2-10C)alkyl [optionally substituted other than on a carbon attached to the HET-3 ring nitrogen with one or two groups independently selected from the optional substituents defined for R_{1a3}] and R₁₄C(O)O(2-6C)alkyl-, wherein R₁₄ is as defined hereinbefore for R_{1a4} and wherein R₁₄C(O)O group is attached to a carbon other than the carbon attached to the HET-3 ring nitrogen;
- 25 R₂₂ is cyano, -COR₁₂, -COOR₁₂, -CONHR₁₂, -CON(R₁₂)(R₁₃), -SO₂R₁₂ (provided that R₁₂ is not hydrogen), -SO₂NHR₁₂, -SO₂N(R₁₂)(R₁₃) or NO₂, wherein R₁₂ and R₁₃ are as defined hereinbelow;
- R₁₂ and R₁₃ are independently selected from hydrogen, phenyl (optionally substituted with one or more substituents selected from halogen, (1-4C)alkyl and (1-4C)alkyl substituted with one,
- 30 two, three or more halogen atoms) and (1-4C)alkyl (optionally substituted with one, two, three or more halogen atoms), or for any N(R₁₂)(R₁₃) group, R₁₂ and R₁₃ may be taken together with the nitrogen to which they are attached to form a 5-7 membered ring optionally with an additional heteroatom selected from N, O, S(O)_n in place of 1 carbon atom of the so

formed ring; wherein the ring may be optionally substituted by one or two groups independently selected from (1-4C)alkyl (optionally substituted on a carbon not adjacent to the nitrogen by cyano, hydroxy or halo), (3-6C)cycloalkyl, (1-4C)alkanoyl, -COO(1-4C)alkyl, -S(O)_n(1-4C)alkyl (wherein n = 1 or 2), AR1, AR2, , -C=OAR1, -C=OAR2, -COOAR1, 5 -CS(1-4C)alkyl, -C(=S)O(1-4C)alkyl, -C(=W)NR_vR_w [wherein W is O or S, R_v and R_w are independently H, or (1-4C)alkyl], -S(O)_pAR1 and -S(O)_pAR2; wherein any (1-4C)alkyl chain may be optionally substituted by (1-4C)alkyl, cyano, hydroxy or halo; p = 0, 1 or 2; AR1 is an optionally substituted phenyl or optionally substituted naphthyl; AR2 is an optionally substituted 5- or 6-membered, fully unsaturated (i.e with the maximum 10 degree of unsaturation) monocyclic heteroaryl ring containing up to four heteroatoms independently selected from O, N and S (but not containing any O-O, O-S or S-S bonds), and linked via a ring carbon atom, or a ring nitrogen atom if the ring is not thereby quaternised; AR2a is a partially hydrogenated version of AR2 (i.e. AR2 systems retaining some, but not the full, degree of unsaturation), linked via a ring carbon atom or linked via a ring nitrogen 15 atom if the ring is not thereby quaternised; AR2b is a fully hydrogenated version of AR2 (i.e. AR2 systems having no unsaturation), linked via a ring carbon atom or linked via a ring nitrogen atom; AR3 is an optionally substituted 8-, 9- or 10-membered, fully unsaturated (i.e with the maximum degree of unsaturation) bicyclic heteroaryl ring containing up to four heteroatoms 20 independently selected from O, N and S (but not containing any O-O, O-S or S-S bonds), and linked via a ring carbon atom in either of the rings comprising the bicyclic system; AR3a is a partially hydrogenated version of AR3 (i.e. AR3 systems retaining some, but not the full, degree of unsaturation), linked via a ring carbon atom, or linked via a ring nitrogen atom if the ring is not thereby quaternised, in either of the rings comprising the bicyclic 25 system; AR3b is a fully hydrogenated version of AR3 (i.e. AR3 systems having no unsaturation), linked via a ring carbon atom, or linked via a ring nitrogen atom, in either of the rings comprising the bicyclic system; AR4 is an optionally substituted 13- or 14-membered, fully unsaturated (i.e with the 30 maximum degree of unsaturation) tricyclic heteroaryl ring containing up to four heteroatoms independently selected from O, N and S (but not containing any O-O, O-S or S-S bonds), and linked via a ring carbon atom in any of the rings comprising the tricyclic system; AR4a is a partially hydrogenated version of AR4 (i.e. AR4 systems retaining some, but not

the full, degree of unsaturation), linked via a ring carbon atom, or linked via a ring nitrogen atom if the ring is not thereby quaternised, in any of the rings comprising the tricyclic system;

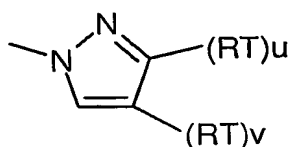
CY1 is an optionally substituted cyclobutyl, cyclopentyl or cyclohexyl ring;

CY2 is an optionally substituted cyclopentenyl or cyclohexenyl ring;

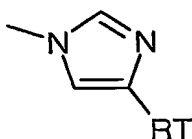
- 5 wherein; optional substituents on AR1, AR2, AR2a, AR2b, AR3, AR3a, AR3b, AR4, AR4a, CY1 and CY2 are (on an available carbon atom) up to three substituents independently selected from (1-4C)alkyl {optionally substituted by substituents selected independently from hydroxy, trifluoromethyl, (1-4C)alkylS(O)_q- (q is 0, 1 or 2), (1-4C)alkoxy, (1-4C)alkoxycarbonyl, cyano, nitro, (1-4C)alkanoylamino, -CONR_vR_w or -NR_vR_w},
- 10 trifluoromethyl, hydroxy, halo, nitro, cyano, thiol, (1-4C)alkoxy, (1-4C)alkanoyloxy, dimethylaminomethyleneaminocarbonyl, di(N-(1-4C)alkyl)aminomethylimino, carboxy, (1-4C)alkoxycarbonyl, (1-4C)alkanoyl, (1-4C)alkylSO₂amino, (2-4C)alkenyl {optionally substituted by carboxy or (1-4C)alkoxycarbonyl}, (2-4C)alkynyl, (1-4C)alkanoylamino, oxo (=O), thioxo (=S), (1-4C)alkanoylamino {the (1-4C)alkanoyl group being optionally
- 15 substituted by hydroxy}, (1-4C)alkyl S(O)_q- (q is 0, 1 or 2) {the (1-4C)alkyl group being optionally substituted by one or more groups independently selected from cyano, hydroxy and (1-4C)alkoxy}, -CONR_vR_w or -NR_vR_w [wherein R_v is hydrogen or (1-4C)alkyl; R_w is hydrogen or (1-4C)alkyl];
- and further optional substituents on AR1, AR2, AR2a, AR2b, AR3, AR3a, AR3b, AR4,
- 20 AR4a, CY1 and CY2 (on an available carbon atom), and also on alkyl groups (unless indicated otherwise) are up to three substituents independently selected from trifluoromethoxy, benzoylamino, benzoyl, phenyl {optionally substituted by up to three substituents independently selected from halo, (1-4C)alkoxy or cyano}, furan, pyrrole, pyrazole, imidazole, triazole, pyrimidine, pyridazine, pyridine, isoxazole, oxazole, isothiazole,
- 25 thiazole, thiophene, hydroxyimino(1-4C)alkyl, (1-4C)alkoxyimino(1-4C)alkyl, halo-(1-4C)alkyl, (1-4C)alkanesulfonamido, -SO₂NR_vR_w [wherein R_v is hydrogen or (1-4C)alkyl; R_w is hydrogen or (1-4C)alkyl]; and
- optional substituents on AR2, AR2a, AR2b, AR3, AR3a, AR3b, AR4 and AR4a are (on an available nitrogen atom, where such substitution does not result in quaternization)
- 30 (1-4C)alkyl, (1-4C)alkylcarbonyl {wherein the (1-4C)alkyl and (1-4C)alkylcarbonyl groups are optionally substituted by (preferably one) substituents independently selected from cyano, hydroxy, nitro, trifluoromethyl, (1-4C)alkyl S(O)_q- (q is 0, 1 or 2), (1-4C)alkoxy,

(1-4C)alkoxycarbonyl, (1-4C)alkanoylamino, -CONR_vR_w or -NR_vR_w [wherein R_v is hydrogen or (1-4C)alkyl; R_w is hydrogen or (1-4C)alkyl]}, (2-4C)alkenyl, (2-4C)alkynyl, (1-4C)alkoxycarbonyl or oxo (to form an N-oxide).

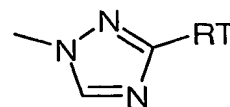
- 5 2. A compound of the formula (I) as claimed in claim 1, or a pharmaceutically-acceptable salt, or an in-vivo hydrolysable ester thereof, wherein R_{1b} is HET1 wherein HET1 is selected from the structures (Za) to (Zf),



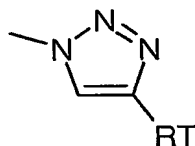
(Za)



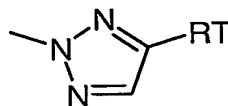
(Zb)



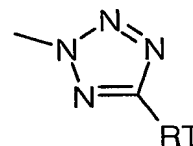
(Zc)



(Zd)



(Ze)



(Zf)

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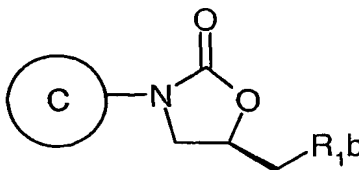
wherein u and v are independently 0 or 1 and RT selected from:

- (a) hydrogen;
- (b) halogen;
- (c) cyano;
- 15 (d) (1-4C)alkyl;
- (e) monosubstituted (1-4C)alkyl;
- (f) disubstituted (1-4C)alkyl, and
- (g) trisubstituted (1-4C)alkyl.

- 20 3. A compound of the formula (I) as claimed in claim 1 or claim 2, or a pharmaceutically-acceptable salt, or an in-vivo hydrolysable ester thereof, wherein R₄ is R_{4b}.

4. A compound of the formula (I) as claimed in any preceding claim or a pharmaceutically-acceptable salt, or an in-vivo hydrolysable ester thereof, wherein HET-3 is
25 selected from HET3-T, HET3-V, HET3-Y and HET-3-W.

5. A compound of the formula (I) as claimed in any preceding claim, or a pharmaceutically-acceptable salt, or an in-vivo hydrolysable ester thereof, wherein HET-3 is selected from HET3-V and HET3-Y.
- 5 6. A compound of the formula (I) as claimed in any preceding claim, or a pharmaceutically-acceptable salt, or an in-vivo hydrolysable ester thereof, wherein R_{1a} is R_{1a3} .
7. A compound of the formula (I) as claimed in any preceding claim, or a
10 pharmaceutically-acceptable salt, or an in-vivo hydrolysable ester thereof, wherein group C is group D.
8. A compound of the formula (I) as claimed in any preceding claim, or a
15 pharmaceutically-acceptable salt, or an in-vivo hydrolysable ester thereof, wherein group C is group E.
9. A compound of the formula (I) or a pharmaceutically-acceptable salt or an in-vivo hydrolysable ester thereof, as claimed in Claim 1, wherein group C is group E; R_{2a} and R_{6a} are both hydrogen; R_{2b} and R_{6b} are independently hydrogen or fluorine; and R_4 is HET3-V, R_{1b} is selected from Zd and Zf, u and v are independently 0 or 1 and RT is selected from hydrogen, halogen, cyano, methyl, fluoromethyl, chloromethyl, bromomethyl, cyanomethyl, azidomethyl, hydroxymethyl, difluoromethyl, and trifluoromethyl.
- 20 10. A compound of the formula (Ia) as claimed in any preceding claim, or a pharmaceutically-acceptable salt, or an in-vivo hydrolysable ester thereof.
- 25



(Ia)

11. A pro-drug of a compound as claimed in any one of the previous claims.

12 A method for producing an antibacterial effect in a warm blooded animal which comprises administering to said animal an effective amount of a compound of the invention as claimed in any one of claims 1 to 11, or a pharmaceutically-acceptable salt, or in-vivo hydrolysable ester thereof.

5

13. A compound of the invention as claimed in any one of claims 1 to 11, or a pharmaceutically-acceptable salt, or in-vivo hydrolysable ester thereof, for use as a medicament.

10 14. The use of a compound of the invention as claimed in any one of claims 1 to 11, or a pharmaceutically-acceptable salt, or in-vivo hydrolysable ester thereof, in the manufacture of a medicament for use in the production of an antibacterial effect in a warm blooded animal.

15 15. A pharmaceutical composition which comprises a compound of the invention as claimed in any one of claims 1 to 11, or a pharmaceutically-acceptable salt or an in-vivo hydrolysable ester thereof, and a pharmaceutically-acceptable diluent or carrier.

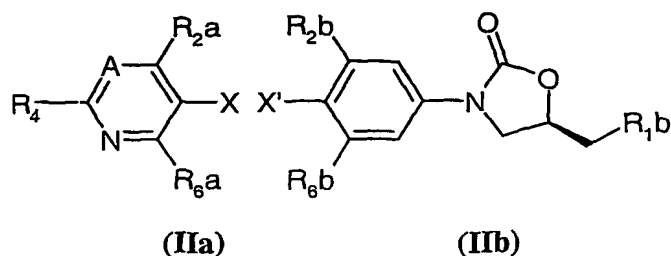
20 16. A process for the preparation of a compound of formula (I) as claimed in claim 1 or pharmaceutically acceptable salts or in-vivo hydrolysable esters thereof, which process comprises one of processes (a) to (i); and thereafter if necessary:

- i) removing any protecting groups;
- ii) forming a pro-drug (for example an in-vivo hydrolysable ester); and/or
- iii) forming a pharmaceutically-acceptable salt;

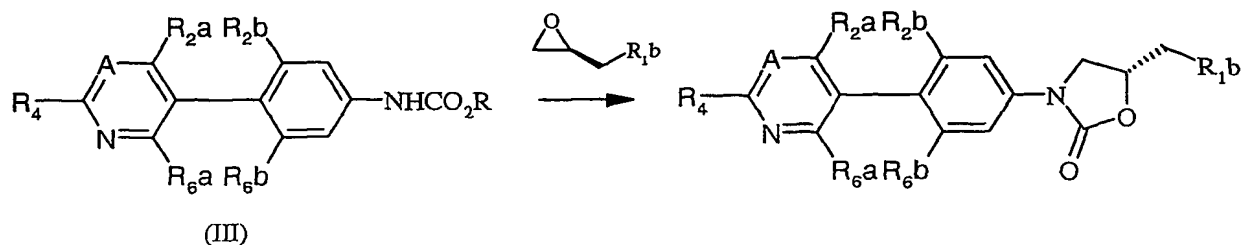
wherein said processes (a) to (i) are:

- 25 a) modifying a substituent in, or introducing a substituent into another compound of the invention;
- b) reaction of a molecule of a compound of formula (IIa) [wherein X is a leaving group useful in palladium coupling and A is either N or C-R₃a] with a molecule of a compound of formula (IIb) (wherein X' is a leaving group useful in palladium coupling) wherein X and X' are such that an aryl-aryl, heteroaryl-aryl, or heteroaryl-heteroaryl bond replaces the aryl-X (or heteroaryl-X) and aryl-X' (or heteroaryl-X') bonds; and X and X' are chosen to be
- 30 different to lead to the desired cross-coupling products of formula (I);

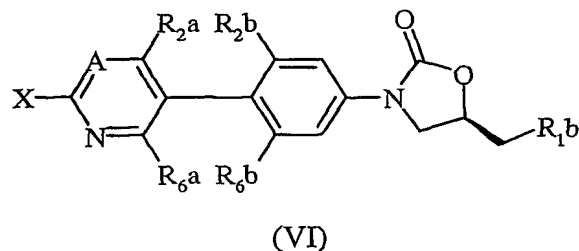
- 89 -



c) reaction of a heterobiaryl derivative (III) carbamate with an appropriately substituted oxirane to form an oxazolidinone ring;



(d) by reaction of a compound of formula (VI) :

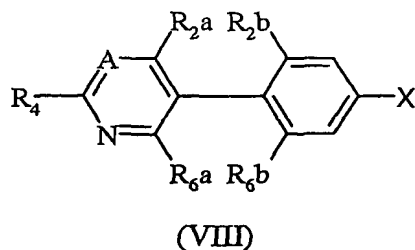


where X is a replaceable substituent with a compound of the formula (VII):

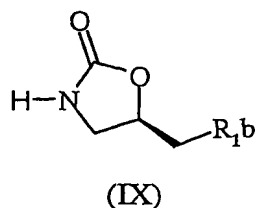


wherein T-X' is HET1 or HET2 as herein above defined and X' is a replaceable C-linked substituent; wherein the substituents X and X' are chosen to be complementary pairs of substituents suitable as complementary substrates for coupling reactions catalysed by transition metals such as palladium(0);

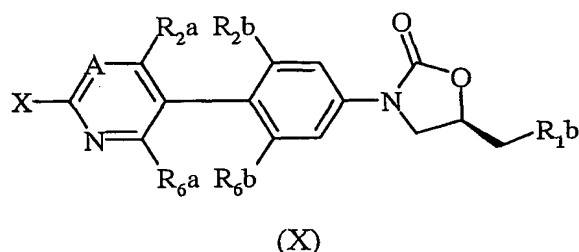
(d(i)) by reaction catalysed by transition metals of a compound of formula (VIII):



wherein X is a replaceable substituent with a compound of the formula (IX);



5 (d(ii)) by reaction of a compound of formula (X):

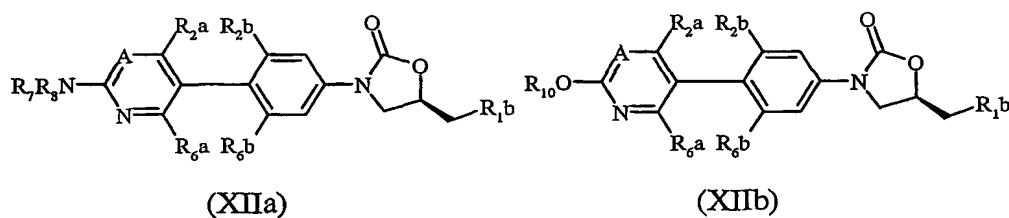


X is a replaceable substituent with a compound of the formula (XI):

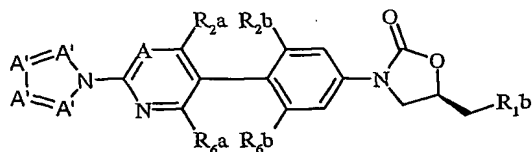


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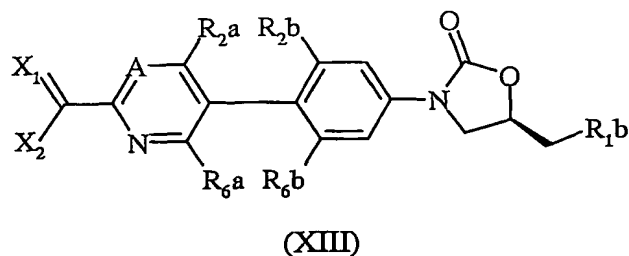
wherein T-H is an amine R_7R_8NH , an alcohol $R_{10}OH$, or an azole with an available ring-NH group to give compounds (XIIa), (XIIb), or (XIIc) wherein in this instance A is nitrogen or C- R_{3a} and A' is nitrogen or carbon optionally substituted with one or more groups R_{1a} ;



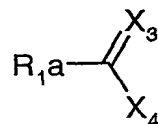
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(e) reaction of a compound of formula (XIII):



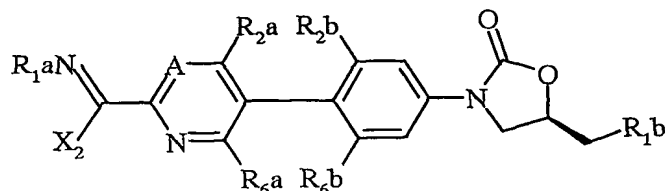
wherein X_1 and X_2 here are independently optionally substituted heteroatoms drawn in combination from O, N, and S such that $C(X_1)X_2$ constitutes a substituent that is a carboxylic acid derivative substituent with a compound of the formula (XIV) and X_3 and X_4 are independently optionally substituted heteroatoms drawn in combination from O, N, and S:



(XIV)

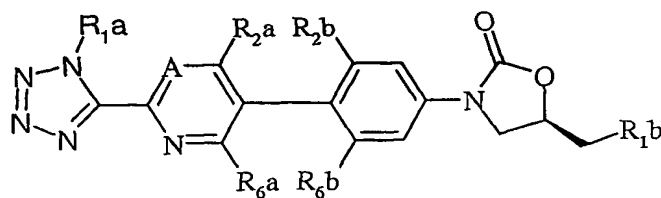
and wherein one of $C(X_1)X_2$ and $C(X_3)X_4$ constitutes an optionally substituted hydrazide, thiohydrazide, or amidrazone, hydroximidate, or hydroxamidine and the other one of $C(X_1)X_2$ and $C(X_3)X_4$ constitutes an optionally substituted acylating, thioacylating, or imidoylating agent such that $C(X_1)X_2$ and $C(X_3)X_4$ may be condensed together to form a 1,2,4-heteroatom 5-membered heterocycle containing 3 heteroatoms drawn in combination from O, N, and S, for instance thiadiazole;

(e (i)) reaction of a compound of formula (XV):



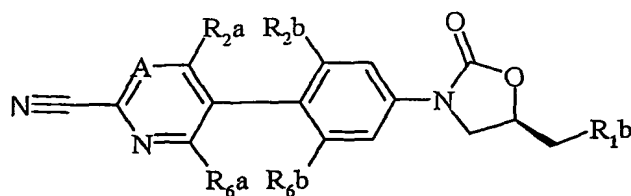
(XV)

wherein X_2 is a displaceable group with a source of azide anion to give a tetrazole (XVI);



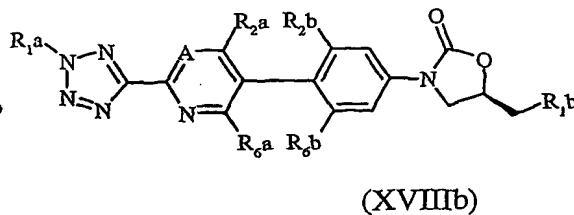
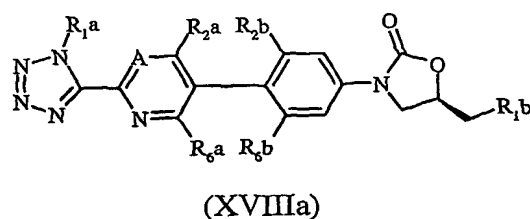
(XVI)

or nitriles of formula (XVII)

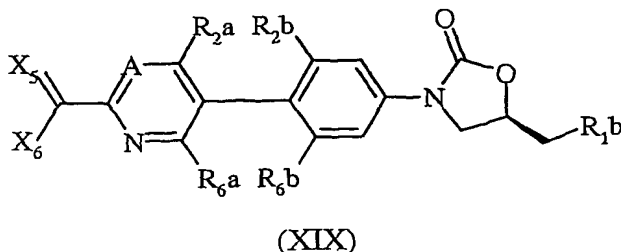


(XVII)

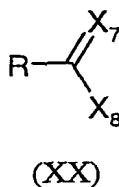
may be reacted directly with azides to give tetrazoles (XVI, R_{1a} = H) that are subsequently alkylated with groups R_{1a} ≠ H to give tetrazoles (XVIIIa) and (XVIIIb);



5 (f) reaction of a compound of formula (XIX):



with a compound of the formula (XX):



10

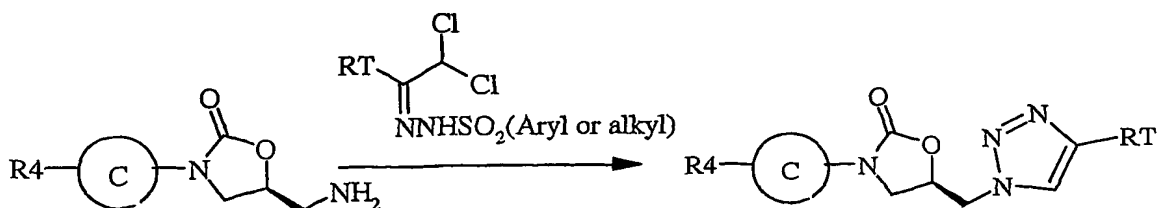
wherein one of C(X₅)X₆ and C(X₇)X₈ constitutes an optionally substituted alpha-(leaving-group-substituted)ketone, wherein the leaving group is for example a halo-group or an (alkyl or aryl)-sulfonyloxy-group, and the other one of C(X₅)X₆ and C(X₇)X₈ constitutes an optionally substituted amide, thioamide, or amidine, such that C(X₅)X₆ and C(X₇)X₈ are

15 groups that may be condensed together to form a 1,3-heteroatom 5-membered heterocycle containing 2 heteroatoms drawn in combination from O, N, and S, for instance thiazole;

(g) for HET as optionally substituted 1,2,3-triazoles, compounds of the formula (I), by cycloaddition via the azide to acetylenes, or to acetylene equivalents such as optionally substituted cyclohexa-1,4-dienes or optionally substituted ethylenes bearing eliminatable

20 substituents such as arylsulfonyl;

(h) for HET as 4-substituted 1,2,3-triazole compounds of formula (I), by reacting aminomethyloxazolidinones with 1,1-dihaloketone sulfonylhydrazones;

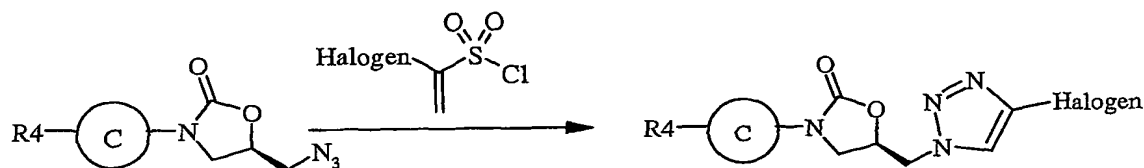


(i) for HET as 4-substituted 1,2,3-triazole compounds of formula (I), by reacting azidomethyl oxazolidinones with terminal alkynes using Cu(I) to give 4-substituted 1,2,3-triazoles.

5

17. A process for the preparation of a compound of formula (I) as claimed in claim 1 or pharmaceutically acceptable salts or in-vivo hydrolysable esters thereof, wherein HET-1 is 4-halogenated 1,2,3-triazole comprising reacting azidomethyl oxazolidinones with halovinylsulfonyl chlorides at a temperature between 0 °C and 100 °C either neat or in an

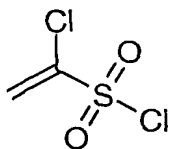
10 inert diluent.



18. A process according to claim 17 wherein the halovinylsulfonyl chloride is 1-chloro-1-ethenesulfonyl chloride.

15

19. The compound 1-chloro-1-ethenesulfonyl chloride.



20. The use of 1-chloro-1-ethenesulfonyl chloride in a cycloaddition reaction with an

20 azide to form a 4-chloro-1,2,3-triazole.

21. The use of 1-chloro-1-ethenesulfonyl chloride with an azide derivative in a process to form a compound of the formula (I) wherein R_{1b} is 4-chloro-1,2,3-triazole, or R₄ is 4-chloro-HET3-AB.

25

22. A pharmaceutical composition as claimed in claim 15, wherein said composition includes a vitamin.

23. A pharmaceutical composition as claimed in claim 22 wherein said vitamin is Vitamin
5 B.

24. A pharmaceutical composition as claimed in claim 15, wherein said composition comprises a combination of a compound of the formula (I) and an antibacterial agent active against gram-positive bacteria.

10

25. A pharmaceutical composition as claimed in claim 15, wherein said composition comprises a combination of a compound of the formula (I) and an antibacterial agent active against gram-negative bacteria.